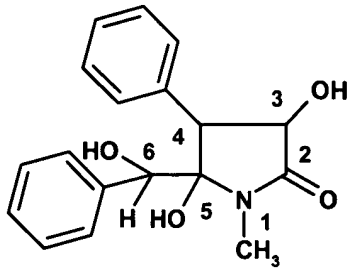
CLAIMS

1. An optical active C_5-hydroxyl derivative of clausenamide represented by general formula II,



II

which is:

racemic II_1, configuration (3S*,4S*,5S*,6R*), or

racemic II_2, configuration (3S*,4S*,5R*,6S*), or

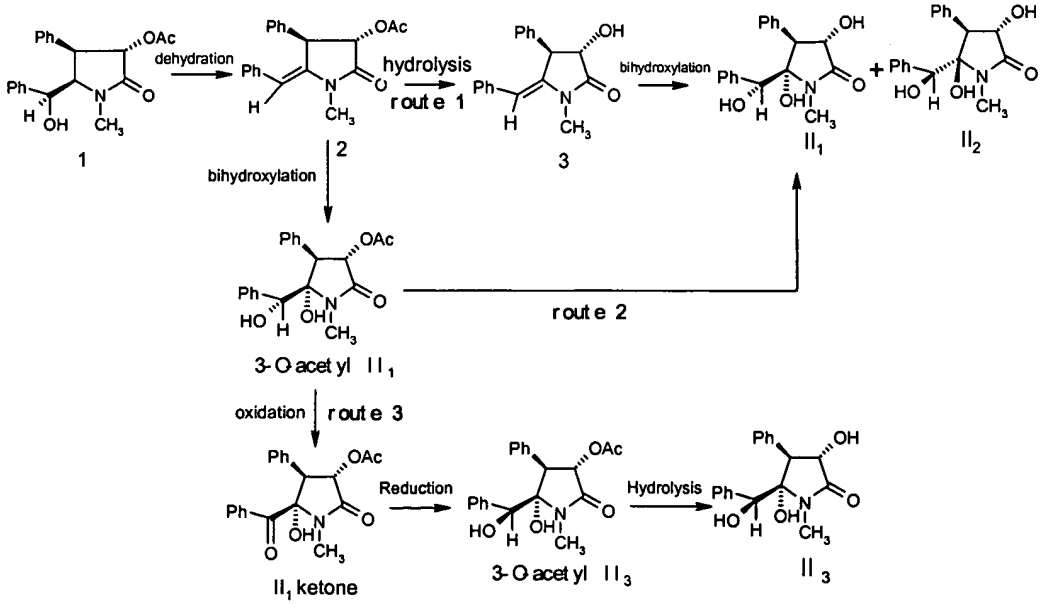
racemic II_3, configuration (3S*,4S*,5S*,6S*), or

optical active II_1, configuration (3S,4S,5S,6R) or (3R,4R,5R,6S), or

optical active II_2, configuration (3S,4S,5R,6S) or (3R,4R,5S,6R), or

optical active II_3, configuration (3R,4R,5R,6R) or (3S,4S,5S,6S).

2. A preparation method of the optical active C_5-hydroxyl derivative of clausenamide according to claim 1, comprising:



(a) dehydrolation of (rac)-3-O-acetyl-clausenamide (1) or an optical isomer thereof, the dehydralating agent may be $POCl_3$/Py; or to prepare the methylsulfonate of clausenamide, then cleave the methylsulfonate group with DBU;

(b) hydrolysis of (rac)-3-O-acetyl-$\Delta^{5,6}$-clausenamide (2) or an optical isomer thereof, which can be carried out under conventional acid or base conditions;

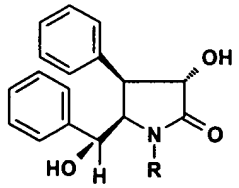
(c) bihydroxylation of (rac)-$\Delta^{5,6}$-clausenamide (3) or an optical isomer thereof, which can be achieved using OsO_4/NMO, $KHSO_5/CH_3COCF_3$, WO_3/H_2O_2;

(d) oxidation of (3S*, 4S*, 5S*, 6R*)-3-O-acetyl-5-hydroxy clausenamide (3-O-acetyl II_1) or an optical active isomer thereof, which may be carried out with oxidants such as $KMnO_4/CuSO_4$, MnO_2, DMSO/ ClCOCOCl/TEA, DMSO/TFAA/TEA, etc;

(e) deduction of (3S*, 4S*, 5S*)-3-O-acetyl-5-hydroxy-clausenamidone (II_1 ketone) or an optical active isomer thereof, which can be carried out using various borohydrides, such as sodium borohydride or lithium tri-sec-butyl borohydride;

(f) hydrolysis of (3S*, 4S*, 5S*, 6S*)-3-O-acetoyl-5-hydroxy-clausenamide (II_3) or an optical active isomer thereof, which may be carried out using various acids or bases, or $Sm/I_2/CH_3OH$.

3. A N-substituted clausenamide derivative represented by general formula (III),

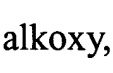
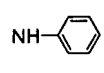


III

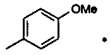
characterized that:

relative configuration (3S*,4R*,5R*,6S*),

R is selected from CH_2COR^1, $CH_2OCH_2COR^2$, and CH_2R^3,

R^1 is selected from OH, NH_2 , C_{1-8} alkoxy,  , and  ;

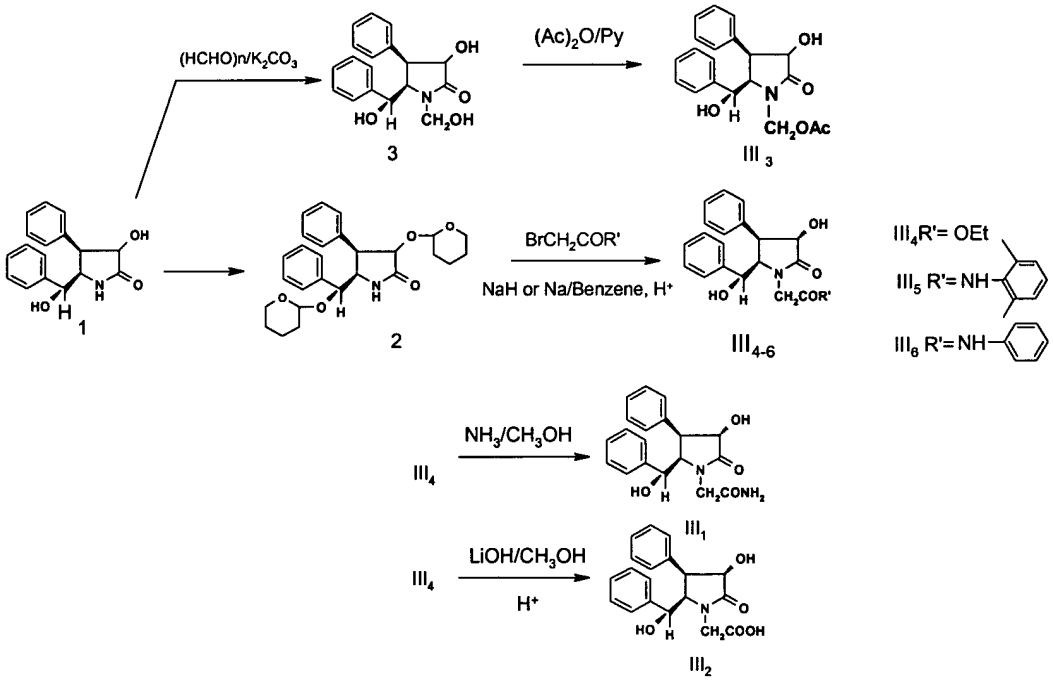
R^2 is selected from C_{1-8} alkoxy, and

R^3 is selected from  ,  .

4. A preparation method of the N-substituted clausenamide derivative according to claim 3, characterized that:

in case R is selected from CH_2R^3, which is affordable via the the reduction of N-benzyl- or N-p-methoxybenzyl-clausenamidone;

in case R is selected from CH_2COR^1 or $CH_2OCH_2COR^2$, comprising the following steps:



(a) reacting norclausenamide (1) with dihydropyran under the catalysis of pyridinium *p*-toluenesulfonate to give 3,6-di-O-tetrahydropyran-norclausenamide;

(b) dissolving 3,6-Di-O-tetrahydropyran-norclausenamide (2) in anhydrous benzene, adding sodium hydride, heating and adding bromoacetate, then de-protecting the protection group of tetrahydropyran to give N-(alkoxy/

alkylaminocarbonylmethylene)norclausenamides;

(c) treating N-(ethoxycarbonylmethylene)norclausenamide with a largely excess amount of NH_3/CH_3OH solution to obtain N-(aminocarbonyl methylene)norclausenamide;

(d) reacting norclausenamide with paraformaldehyde and potassium carbonate to give N-(hydroxymethly)norclausenamide;

(e) reacting N-(hydroxymethly)norclausenamide with corresponding acid anhydride to prepare the corresponding N-(acyloxymethylene)-norclausenamide.

5. A pharmaceutical composition comprising a pharmacological effective amount of any compound according to claim 1 or 3 and a pharmaceutically acceptable carrier or excipient.

6. Use of a compound according to claim 1 or 3 for the preparation of medicaments as nootropic and anti-aging drugs.